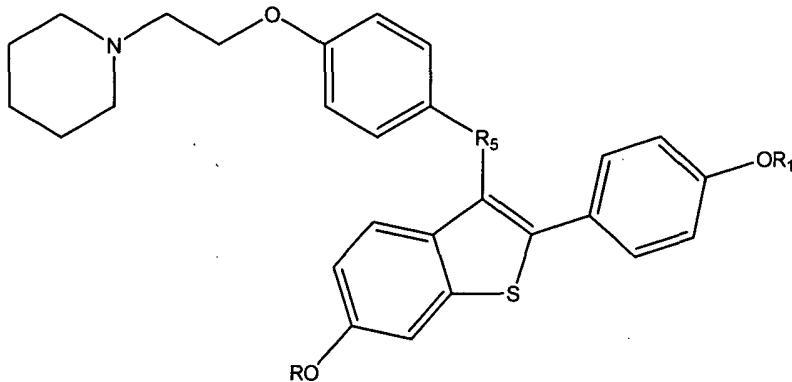


WHAT IS CLAIMED IS:

1. A method of treating a mammal with androgen-independent prostate cancer, the method comprising administering to the mammal an effective amount of a compound having the formula



or a pharmaceutically acceptable salt thereof,

wherein R and R<sub>1</sub> are each independently selected from the group consisting of hydrogen, —COR<sub>2</sub>, —COR<sub>3</sub>, and R<sub>4</sub>,

R<sub>2</sub> is selected from the group consisting of hydrogen, C1-C14 alkyl, C1-C3 chloroalkyl, C1-C3 fluoroalkyl, C5-C7 cycloalkyl, C1-C4 alkoxy, and phenyl,

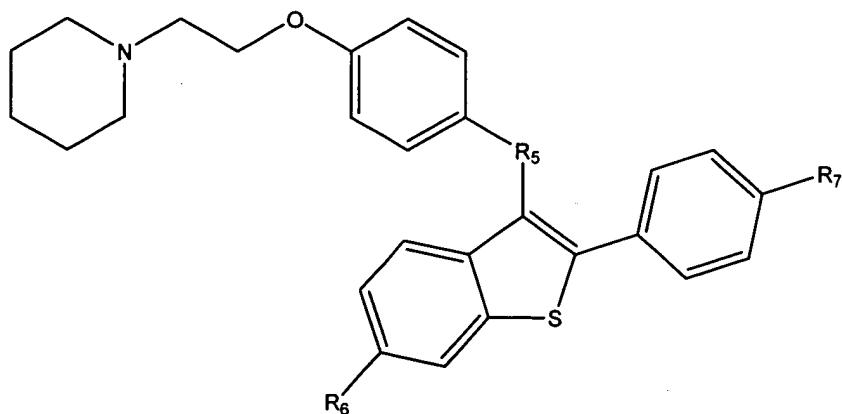
R<sub>3</sub> is phenyl with at least one substitution selected from the group consisting of C1-C4 alkyl, C1-C4 alkoxy, hydroxy, nitro, chloro, fluoro, trichloromethyl, and trifluoromethyl,

R<sub>4</sub> is selected from the group consisting of C1-C4 alkyl, C5-C7 cycloalkyl, and benzyl, and

R<sub>5</sub> is selected from the group consisting of oxygen and —C=O.

2. The method of claim 1, wherein the compound is administered in an effective amount of between about 10 mg and 300 mg per day.
3. The method of claim 1, wherein the compound is administered in an effective amount of about 60 mg per day.
4. The method of claim 1, wherein the compound is administered in an effective amount of about 180 mg per day.

5. The method of claim 4, wherein the compound is administered in an effective amount of about 180 mg per day only after the mammal fails to respond to treatment with the compound at an amount of about 60 mg per day.
6. The method of claim 1, further comprising administering to the mammal an estrogen lowering drug in an amount effective to lower the serum level of estradiol in the mammal.
7. The method of claim 6, wherein the estrogen lowering drug is administered in an amount effective to lower the serum level of estradiol in the mammal to an amount no greater than about 30 pg/ml.
8. The method of claim 1, wherein the compound is administered orally.
9. The method of claim 1, wherein R and R<sub>1</sub> are both hydrogen.
10. The method of claim 1, wherein R<sub>5</sub> is oxygen.
11. The method of claim 1, wherein R<sub>5</sub> is -C=O.
12. A method of treating a mammal with androgen-independent prostate cancer, the method comprising administering to the mammal an effective amount of a prodrug having the formula



or a pharmaceutically acceptable salt thereof,  
wherein R<sub>5</sub> is selected from the group consisting of oxygen and -C=O,

$R_6$  and  $R_7$  are each independently selected from the group consisting of hydrogen, hydroxy and  $-OR_8$ ,

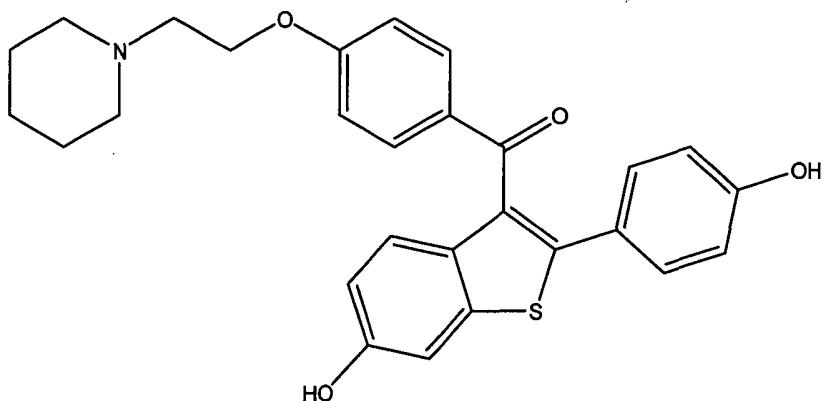
$R_8$  is a hydroxy protecting group, and

at least one of  $R_6$  and  $R_7$  is metabolically processed by the mammal after administration of the prodrug to convert the prodrug into a pharmaceutical compound effective in the treatment of androgen-independent prostate cancer.

13. The method of claim 12, wherein the compound is administered in an effective amount of between about 10 mg and 300 mg per day.
14. The method of claim 12, wherein the compound is administered in an effective amount of about 60 mg per day.
15. The method of claim 12, wherein the compound is administered in an effective amount of about 180 mg per day.
16. The method of claim 15, wherein the compound is administered in an effective amount of about 180 mg per day only after the mammal fails to respond to treatment with the compound at an amount of about 60 mg per day.
17. The method of claim 12, further comprising administering to the mammal an estrogen lowering drug in an amount effective to lower the serum level of estradiol in the mammal.
18. The method of claim 17, wherein the estrogen lowering drug is administered in an amount effective to lower the serum level of estradiol in the mammal to an amount no greater than about 30 pg/ml.
19. The method of claim 12, wherein the compound is administered orally.
20. The method of claim 12, wherein  $R_6$  and  $R_7$  are both metabolically processed by the mammal after administration of the prodrug, such that, following the metabolic process, a first hydroxy group remains at the site occupied by  $R_6$  prior

to the metabolic process and a second hydroxy group remains at the site occupied by R<sub>7</sub> prior to the metabolic process.

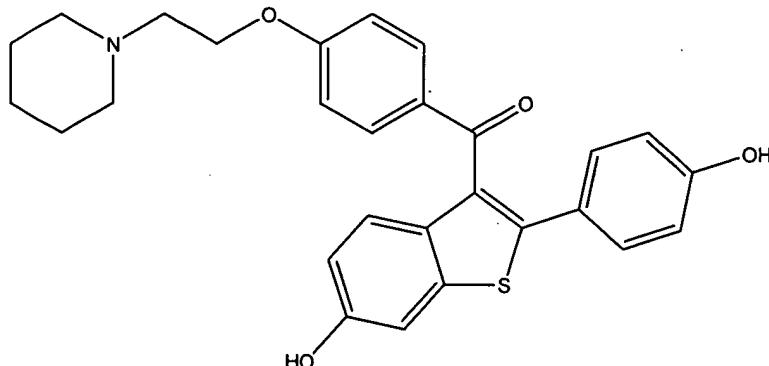
21. The method of claim 12, wherein R<sub>5</sub> is oxygen.
22. The method of claim 12, wherein R<sub>5</sub> is -C=O.
23. A method of treating a mammal with androgen-independent prostate cancer, the method comprising administering to the mammal an effective amount of a compound having the formula



or pharmaceutically acceptable salts thereof.

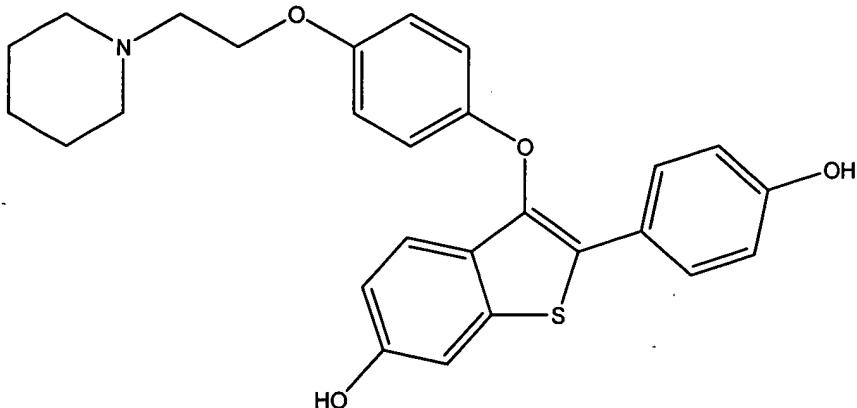
24. The method of claim 23, wherein the compound is administered in an effective amount of between about 10 mg and 300 mg per day.
25. The method of claim 23, further comprising administering to the mammal an estrogen lowering drug in an amount effective to lower the serum level of estradiol in the mammal.
26. A method of treating a mammal with androgen-independent prostate cancer, the method comprising administering to the mammal an effective amount of a

prodrug of a compound of the formula



or pharmaceutically acceptable salts thereof.

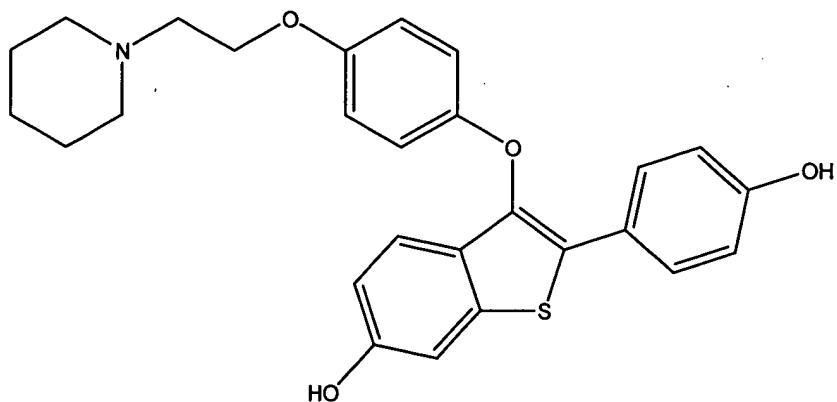
27. The method of claim 26, wherein the compound is administered in an effective amount of between about 10 mg and 300 mg per day.
28. The method of claim 26, further comprising administering to the mammal an estrogen lowering drug in an amount effective to lower the serum level of estradiol in the mammal.
29. A method of treating a mammal with androgen-independent prostate cancer, the method comprising administering to the mammal an effective amount of a compound having the formula



or pharmaceutically acceptable salts thereof.

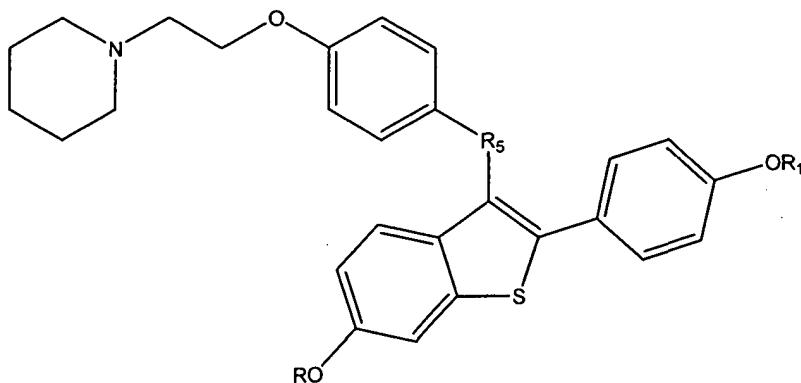
30. The method of claim 29, wherein the compound is administered in an effective amount of between about 10 mg and 300 mg per day.

31. The method of claim 29, further comprising administering to the mammal an estrogen lowering drug in an amount effective to lower the serum level of estradiol in the mammal.
32. A method of treating a mammal with androgen-independent prostate cancer, the method comprising administering to the mammal an effective amount of a prodrug of a compound of the formula



or pharmaceutically acceptable salts thereof.

33. The method of claim 32, wherein the compound is administered in an effective amount of between about 10 mg and 300 mg per day.
34. The method of claim 32, further comprising administering to the mammal an estrogen lowering drug in an amount effective to lower the serum level of estradiol in the mammal.
35. A method of treating a mammal with androgen-dependent prostate cancer, the method comprising administering to the mammal an effective amount of a compound having the formula



or a pharmaceutically acceptable salt thereof,

wherein R and R<sub>1</sub> are each independently selected from the group consisting of hydrogen, —COR<sub>2</sub>, —COR<sub>3</sub>, and R<sub>4</sub>,

R<sub>2</sub> is selected from the group consisting of hydrogen, C1-C14 alkyl, C1-C3 chloroalkyl, C1-C3 fluoroalkyl, C5-C7 cycloalkyl, C1-C4 alkoxy, and phenyl,

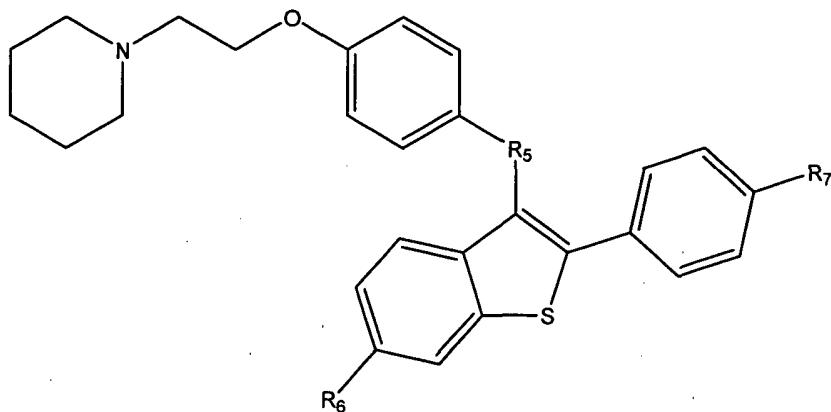
R<sub>3</sub> is phenyl with at least one substitution selected from the group consisting of C1-C4 alkyl, C1-C4 alkoxy, hydroxy, nitro, chloro, fluoro, trichloromethyl, and trifluoromethyl,

R<sub>4</sub> is selected from the group consisting of C1-C4 alkyl, C5-C7 cycloalkyl, and benzyl, and

R<sub>5</sub> is selected from the group consisting of oxygen and —C=O.

36. The method of claim 35, wherein the compound is administered in an effective amount of between about 10 mg and 300 mg per day.
37. The method of claim 35, wherein the compound is administered in an effective amount of about 60 mg per day.
38. The method of claim 35, wherein the compound is administered in an effective amount of about 180 mg per day.
39. The method of claim 38, wherein the compound is administered in an effective amount of about 180 mg per day only after the mammal fails to respond to treatment with the compound at an amount of about 60 mg per day.

40. The method of claim 35, further comprising administering to the mammal an estrogen lowering drug in an amount effective to lower the serum level of estradiol in the mammal.
41. The method of claim 40, wherein the estrogen lowering drug is administered in an amount effective to lower the serum level of estradiol in the mammal to an amount no greater than about 30 pg/ml.
42. The method of claim 35, wherein the compound is administered orally.
43. The method of claim 35, wherein R and R<sub>1</sub> are both hydrogen.
44. The method of claim 35, wherein R<sub>5</sub> is oxygen.
45. The method of claim 35, wherein R<sub>5</sub> is -C=O.
46. A method of treating a mammal with androgen-dependent prostate cancer, the method comprising administering to the mammal an effective amount of a prodrug having the formula



or a pharmaceutically acceptable salt thereof,  
wherein R<sub>5</sub> is selected from the group consisting of oxygen and -C=O,  
R<sub>6</sub> and R<sub>7</sub> are each independently selected from the group consisting of  
hydrogen, hydroxy and -OR<sub>8</sub>,  
R<sub>8</sub> is a hydroxy protecting group, and

at least one of R<sub>6</sub> and R<sub>7</sub> is metabolically processed by the mammal after administration of the prodrug to convert the prodrug into a pharmaceutical compound effective in the treatment of androgen-dependent prostate cancer.

47. The method of claim 46, wherein the compound is administered in an effective amount of between about 10 mg and 300 mg per day.
48. The method of claim 46, wherein the compound is administered in an effective amount of about 60 mg per day.
49. The method of claim 46, wherein the compound is administered in an effective amount of about 180 mg per day.
50. The method of claim 49, wherein the compound is administered in an effective amount of about 180 mg per day only after the mammal fails to respond to treatment with the compound at an amount of about 60 mg per day.
51. The method of claim 46, further comprising administering to the mammal an estrogen lowering drug in an amount effective to lower the serum level of estradiol in the mammal.
52. The method of claim 51, wherein the estrogen lowering drug is administered in an amount effective to lower the serum level of estradiol in the mammal to an amount no greater than about 30 pg/ml.
53. The method of claim 46, wherein the compound is administered orally.
54. The method of claim 46, wherein R<sub>6</sub> and R<sub>7</sub> are both metabolically processed by the mammal after administration of the prodrug, such that, following the metabolic process, a first hydroxy group remains at the site occupied by R<sub>6</sub> prior to the metabolic process and a second hydroxy group remains at the site occupied by R<sub>7</sub> prior to the metabolic process.
55. The method of claim 46, wherein R<sub>5</sub> is oxygen.

56. The method of claim 46, wherein R<sub>5</sub> is -C=O.